

# PATENT COOPERATION TREATY

From the  
INTERNATIONAL SEARCHING AUTHORITY

## PCT

To:

see form PCT/ISA/220

### WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY (PCT Rule 43bis.1)

Date of mailing  
(day/month/year) see form PCT/ISA/210 (second sheet)

Applicant's or agent's file reference  
see form PCT/ISA/220

**FOR FURTHER ACTION**  
See paragraph 2 below

International application No.  
PCT/IN2005/000125

International filing date (day/month/year)  
25.04.2005

Priority date (day/month/year)  
28.04.2004

International Patent Classification (IPC) or both national classification and IPC  
C07H17/08

Applicant  
ALEMBIC LIMITED

1. This opinion contains indications relating to the following items:

- ☒ Box No. I Basis of the opinion
- ☐ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☐ Box No. VIII Certain observations on the international application

2. **FURTHER ACTION**

If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA"). However, this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of three months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA:



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**WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY**

International application No.  
PCT/IN2005/000125

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**Box No. I Basis of the opinion**

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1. With regard to the **language**, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
  - ☐ This opinion has been established on the basis of a translation from the original language into the following language , which is the language of a translation furnished for the purposes of international search (under Rules 12.3 and 23.1(b)).
2. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
  - a. type of material:
    - ☐ a sequence listing
    - ☐ table(s) related to the sequence listing
  - b. format of material:
    - ☐ in written format
    - ☐ in computer readable form
  - c. time of filing/furnishing:
    - ☐ contained in the international application as filed.
    - ☐ filed together with the international application in computer readable form.
    - ☐ furnished subsequently to this Authority for the purposes of search.
3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

**WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY**

International application No.  
PCT/IN2005/000125

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**Box No. V Reasoned statement under Rule 43*bis*.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

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**1. Statement**

|                               |             |      |
|-------------------------------|-------------|------|
| Novelty (N)                   | Yes: Claims | 1-42 |
|                               | No: Claims  |      |
| Inventive step (IS)           | Yes: Claims |      |
|                               | No: Claims  | 1-42 |
| Industrial applicability (IA) | Yes: Claims | 1-42 |
|                               | No: Claims  |      |

**2. Citations and explanations**

**see separate sheet**

**Re Item V**

**Reasoned statement with regard to novelty, inventive step or industrial applicability;  
citations and explanations supporting such statement**

- D1: WU, YONG-JIN ET AL: "Recent developments on ketolides and macrolides" CURRENT MEDICINAL CHEMISTRY , 8(14), 1727 - 1758 CODEN: CMCHE7; ISSN: 0929-8673, 2001, XP009056485
- D2: US-A-5 635 485 (AGOURIDAS ET AL) 3 June 1997 (1997-06-03)
- D3: FR-A1-2 732 684 (ROUSSEL-UCLAF, FR.) 11 October 1996 (1996-10-11)
- D4: EP-A-0 487 411 (ROUSSEL-UCLAF; ROUSSEL UCLAF) 27 May 1992 (1992-05-27)
- D5: GRAUL, A. ET AL: "HMR-3647, an antimicrobial ketolide" DRUGS OF THE FUTURE , 23(6), 591-597 CODEN: DRFUD4; ISSN: 0377-8282, 1998, XP000909275
- D6: DENIS, ALEXIS ET AL: "Synthesis and antibacterial activity of HMR 3647, a new ketolide highly potent against erythromycin-resistant and susceptible pathogens" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS , 9(21), 3075-3080 CODEN: BMCLE8; ISSN: 0960-894X, 1999, XP004181010

**Novelty (Art. 33(2) PCT):**

The subject-matter of the present application concerns a process for the preparation of telithromycin.

Since none of the cited prior art discloses the subject-matter of the present application, claims 1-42 are considered new according to Art. 33(2) PCT.

**Inventive step (Art. 33(3) PCT) :**

D1, which is considered to represent the closest prior art, discloses a process for the preparation of telithromycin (see page 1729) from which the subject-matter of the present application differs in the sequence order of the different reaction step.

The inversion of the sequence order is a basic modification that a man skilled in the art

would perform in order to find an alternative process for the preparation of such a compound.

Though the application states that the present process offers some advantages vis-à-vis the closest prior art, these advantages do not appear clearly in the description (better ease of purification, better yields, etc.).

Thus, the subject-matter of claims 1-42 is not considered inventive contrary to Art. 33(3) PCT.

**Industrial application (Art. 33(4) PCT) :**

The subject-matter of claims 1-42 fulfill the requirements of Art.33(4) PCT.